

101643,476

## Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

**PASSWORD :**

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* \* \* \* \* \* \* \* \* \* \* Welcome to STN International \* \* \* \* \* \* \* \* \* \* \* \* \* \* \*

NEWS	1	Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3	EXTEND option available in structure searching
NEWS	4	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS	6	CAplus super roles and document types searchable in REGISTRY
NEWS	7	Additional enzyme-catalyzed reactions added to CASREACT
NEWS	8	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS	9	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	10	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS	11	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS	12	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	13	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS	14	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	15	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS EXPRESS		JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS INTER		General Internet Information
NEWS LOGIN		Welcome Banner and News Items
NEWS PHONE		Direct Dial and Telecommunication Network Access to STN
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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:12:35 ON 11 AUG 2004

=> FIL STNGUIDE  
COST IN U.S. DOLLARS  
  
FULL ESTIMATED COST

SINCE FILE ENTRY	0.21	TOTAL SESSION	0.21
---------------------	------	------------------	------

FILE 'STNGUIDE' ENTERED AT 09:12:53 ON 11 AUG 2004  
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Aug 6, 2004 (20040806/UP).

=> FIL REGISTRY  
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.06	0.27

FILE 'REGISTRY' ENTERED AT 09:13:05 ON 11 AUG 2004  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 AUG 2004 HIGHEST RN 725210-23-1  
DICTIONARY FILE UPDATES: 10 AUG 2004 HIGHEST RN 725210-23-1

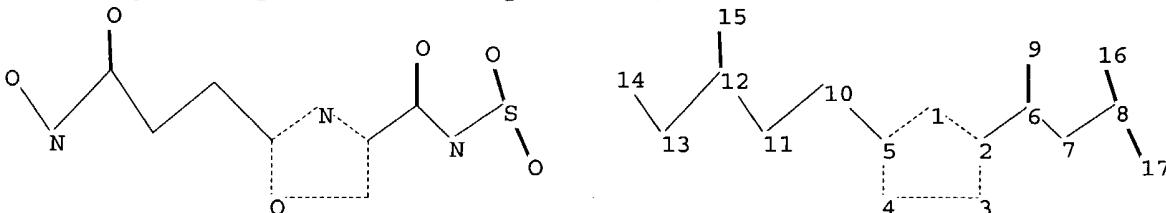
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See **HELP CROSSOVER** for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10643476.str



```
chain nodes :  
6 7 8 9 10 11 12 13 14 15 16 17  
ring nodes :  
1 2 3 4 5  
chain bonds :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
```

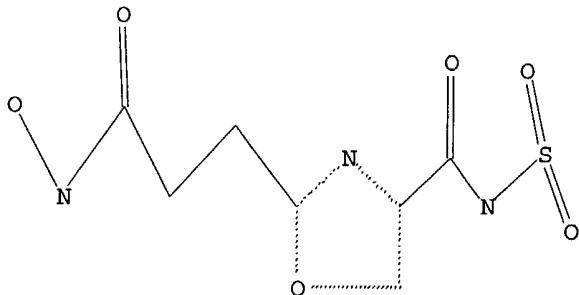
2-6 5-10 6-7 6-9 7-8 8-16 8-17 10-11 11-12 12-13 12-15 13-14  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-16 8-17 12-13 12-15 13-14  
exact bonds :  
2-6 5-10 10-11 11-12

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d 11  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11  
SAMPLE SEARCH INITIATED 09:13:30 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE  
100.0% PROCESSED 6 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01  
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 6 TO 266  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

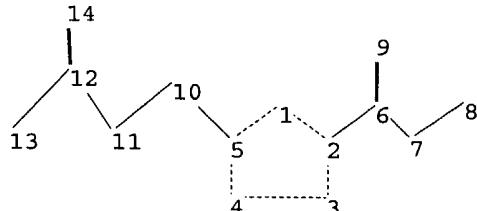
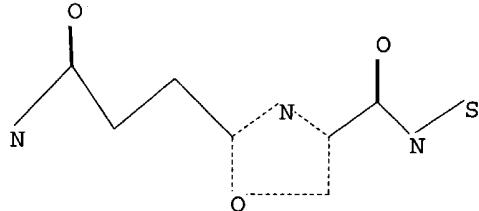
=> s 11 sss full  
FULL SEARCH INITIATED 09:13:36 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS  
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

0 ANSWERS

=>  
Uploading C:\Program Files\Stnexp\Queries\10643476a.str

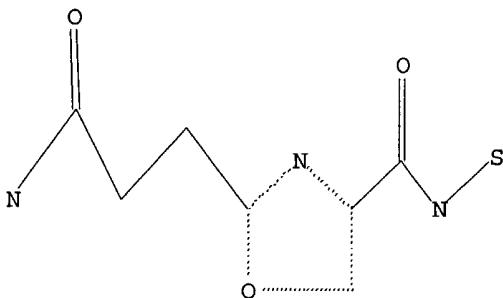


chain nodes :  
6 7 8 9 10 11 12 13 14  
ring nodes :  
1 2 3 4 5  
chain bonds :  
2-6 5-10 6-7 6-9 7-8 10-11 11-12 12-13 12-14  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 12-13 12-14  
exact bonds :  
2-6 5-10 10-11 11-12

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L4 STRUCTURE UPLOADED

=> d 14  
L4 HAS NO ANSWERS  
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14  
SAMPLE SEARCH INITIATED 09:15:50 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE  
100.0% PROCESSED 6 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 6 TO 266  
 PROJECTED ANSWERS: 0 TO 0

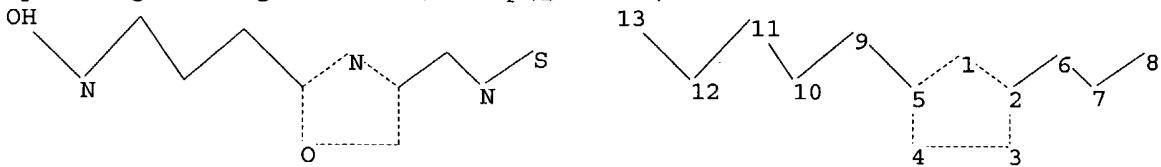
L5 0 SEA SSS SAM L4

=> s 14 sss full  
 FULL SEARCH INITIATED 09:15:56 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L4

=>  
 Uploading C:\Program Files\Stnexp\Queries\10741116b.str



chain nodes :  
 6 7 8 9 10 11 12 13

ring nodes :  
 1 2 3 4 5

chain bonds :  
 2-6 5-9 6-7 7-8 9-10 10-11 11-12 12-13  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5

exact/norm bonds :  
 1-2 1-5 2-3 3-4 4-5 6-7 7-8 11-12 12-13

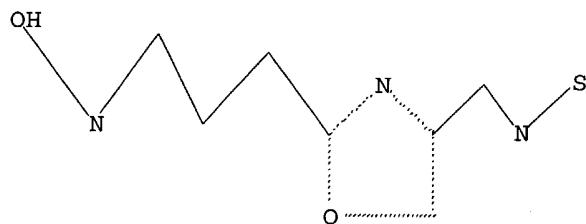
exact bonds :  
 2-6 5-9 9-10 10-11

isolated ring systems :  
 containing 1 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L7 STRUCTURE UPLOADED

=> d 17  
 L7 HAS NO ANSWERS  
 L7 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s 17
SAMPLE SEARCH INITIATED 09:18:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      3 TO ITERATE
```

100.0% PROCESSED	3 ITERATIONS	0 ANSWERS
SEARCH TIME:	00.00.01	
FULL FILE PROJECTIONS:	ONLINE **COMPLETE**	
	BATCH **COMPLETE**	
PROJECTED ITERATIONS:	3 TO 163	
PROJECTED ANSWERS:	0 TO 0	

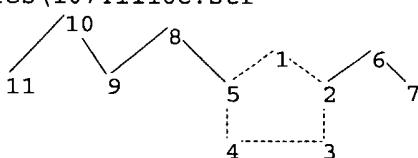
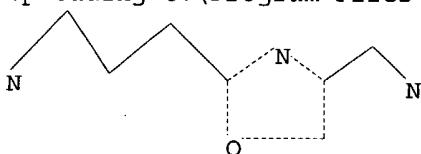
L8            0 SEA SSS SAM L7

```
=> s 17 sss full
FULL SEARCH INITIATED 09:18:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      29 TO ITERATE
```

100.0% PROCESSED	29 ITERATIONS	0 ANSWERS
SEARCH TIME:	00.00.01	

L9            0 SEA SSS FUL L7

```
=>
Uploading C:\Program Files\Stnexp\Queries\10741116c.str
```



```
chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
2-6 5-8 6-7 8-9 9-10 10-11
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 10-11
exact bonds :
2-6 5-8 8-9 9-10
isolated ring systems :
```

Page 709:22

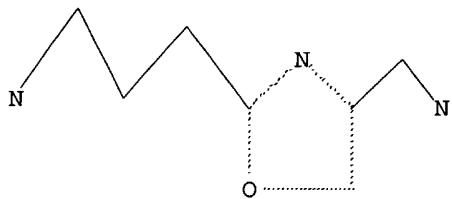
Golam shameem

containing 1 :

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS

L10 STRUCTURE UPLOADED

=> d l10  
L10 HAS NO ANSWERS  
L10 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l10  
SAMPLE SEARCH INITIATED 09:19:14 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 50 TO ITERATE  
  
100.0% PROCESSED 50 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01  
  
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 576 TO 1424  
PROJECTED ANSWERS: 1 TO 80

L11 1 SEA SSS SAM L10

=> s l10 sss full  
FULL SEARCH INITIATED 09:19:21 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 682 TO ITERATE  
  
100.0% PROCESSED 682 ITERATIONS  
SEARCH TIME: 00.00.01

18 ANSWERS

L12 18 SEA SSS FUL L10

=> FIL CAPLUS  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
624.62 624.89

FILE 'CAPLUS' ENTERED AT 09:19:26 ON 11 AUG 2004  
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FILE COVERS 1907 - 11 Aug 2004 VOL 141 ISS 7  
FILE LAST UPDATED: 10 Aug 2004 (20040810/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

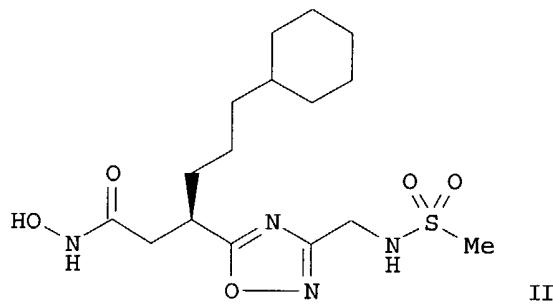
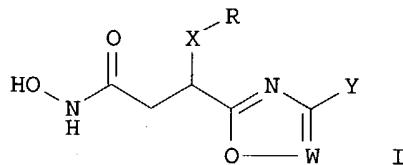
=> s l12  
L13            2 L12  
=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:487539 CAPLUS  
DOCUMENT NUMBER: 137:63245  
TITLE: Preparation of 3-oxa(di)azolylpropanohydroxamic acids as procollagen c-proteinase inhibitors for treatment of wounds  
INVENTOR(S): Datta, Usa; Fish, Paul Vincent; James, Kim; Whitlock, Gavin Alistair  
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.  
SOURCE: PCT Int. Appl., 220 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050046	A1	20020627	WO 2001-IB2360	20011207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023107	A5	20020701	AU 2002-23107	20011207
EP 1343771	A1	20030917	EP 2001-271107	20011207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016412	A	20031230	BR 2001-16412	20011207
JP 2004521098	T2	20040715	JP 2002-551543	20011207
US 2002151535	A1	20021017	US 2001-21721	20011212
US 6716861	B2	20040406		

US 2004142986 PRIORITY APPLN. INFO.:	A1	20040722	US 2003-731707 GB 2000-31321 US 2001-262355P WO 2001-IB2360 US 2001-21721	20031209 A 20001221 P 20010117 W 20011207 A3 20011212
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OTHER SOURCE(S) : MARPAT 137:63245  
GI



AB Title compds. I [wherein X = alkylene or alkenylene optionally F substituted; R = aryl cycloalkyl optionally F substituted; W = N or CZ; Y = NR1R3, or (un)substituted aminoalkyl or N-heterocyclyl; Z = H or alkyl with provisos; R1 and R3 = independently H or alkyl optionally substituted by (un)substituted amino, OH, or alkoxy; and pharmaceutically acceptable salts, solvates, and prodrugs thereof] were prepared as inhibitors of procollagen C-proteinase (PCP), essential in the production of collagen. For example, N-(cyanomethyl)methanesulfonamide was treated with aqueous hydroxylamine to give (1Z)-N'-hydroxy-2-[(methylsulfonyl)amino]ethanimidamide (87%). Addition of the glutarate (2R)-2-(2-tert-butoxy-2-oxoethyl)-5-cyclohexylpentanoate (100%), followed by cyclization in the presence of Al2O3 (50%) and deesterification (87%), afforded the 1,2,4-oxadiazol-5-ylhexanoic acid derivative. Reaction with EtOCOCl and HONH2 in THF and ether provided the desired N-hydroxy-1,2,4-oxadiazol-5-ylhexanamide II (98%). Seventy-two compds. of the invention were prepared by similar methods and inhibited PCP in a fluorogenic cleavage assay with IC50 values of 0.5 μM or less. Preferred compds. are also selective against the matrix metalloproteases (MMPs) MMP-1, MMP-2, MMP-9, and/or MMP-14 (no data), which play important roles in wound healing. Thus, I are useful as antiscarring treatment for wounds (no data).

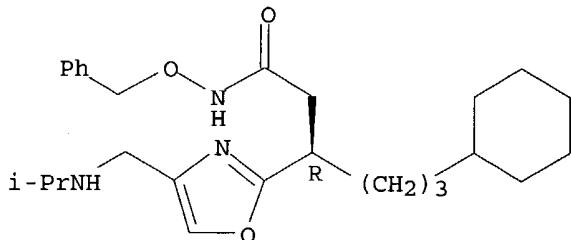
IT 438630-46-7P, (3R)-N-(Benzylxy)-6-cyclohexyl-3-[4-[(isopropylamino)methyl]-1,3-oxazol-2-yl]hexanamide 438630-48-9P, (3R)-N-(Benzylxy)-6-cyclohexyl-3-[4-[(cyclopentylamino)methyl]-1,3-oxazol-2-yl]hexanamide 438630-52-5P, (3R)-N-(Benzylxy)-6-cyclohexyl-3-[5-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-1,3-oxazol-2-yl]hexanamide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of oxa(di)azolylpropanohydroxamic acid)

procollagen c-proteinase inhibitors starting from cycloaddn. of glutarates and N-hydroxycarboximidamides or L-serine esters)

RN 438630-46-7 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -[(3-cyclohexylpropyl)-4-[(1-methylethyl)amino]methyl]-N-(phenylmethoxy)-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

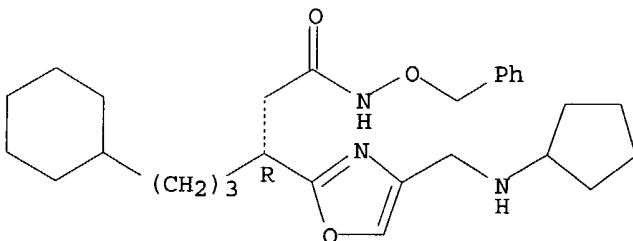
Absolute stereochemistry.



RN 438630-48-9 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -[(3-cyclohexylpropyl)-4-[(cyclopentylamino)methyl]-N-(phenylmethoxy)-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

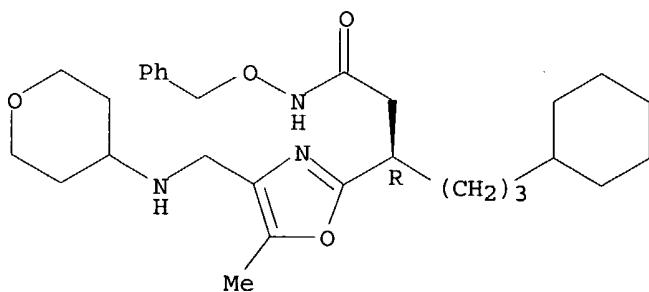
Absolute stereochemistry.



RN 438630-52-5 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -[(3-cyclohexylpropyl)-5-methyl-N-(phenylmethoxy)-4-[(tetrahydro-2H-pyran-4-yl)amino]methyl]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 438630-45-6P, (3R)-6-Cyclohexyl-N-hydroxy-3-[4-[(isopropylamino)methyl]-1,3-oxazol-2-yl]hexanamide 438630-47-8P

, (3R)-6-Cyclohexyl-3-[4-[(cyclopentylamino)methyl]-1,3-oxazol-2-yl]-N-hydroxyhexanamide **438630-51-4P**, (3R)-6-Cyclohexyl-N-hydroxy-3-[5-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-1,3-oxazol-2-yl]hexanamide

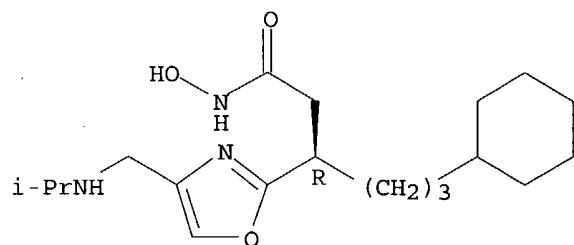
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(procollagen c-proteinase inhibitor; preparation of oxa(di)azollylpropanohydroxamic acid procollagen c-proteinase inhibitors starting from cycloaddn. of glutarates and N-hydroxycarboximidamides or L-serine esters)

RN 438630-45-6 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-N-hydroxy-4-[(1-methylethyl)amino]methyl-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

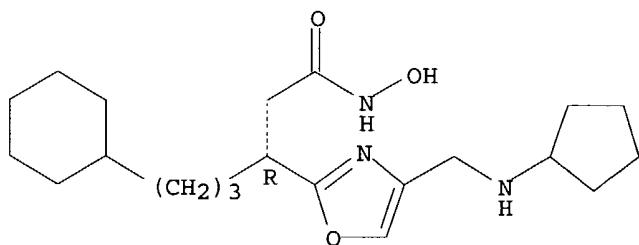
Absolute stereochemistry.



RN 438630-47-8 CAPLUS

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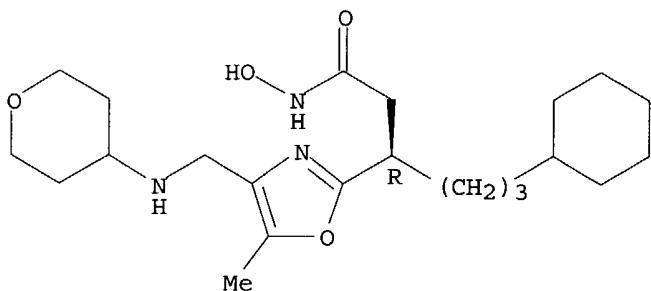
Absolute stereochemistry.



RN 438630-51-4 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-N-hydroxy-5-methyl-4-[(tetrahydro-2H-pyran-4-ylamino)methyl]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:489380 CAPLUS

DOCUMENT NUMBER: 135:92633

TITLE: Preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acids useful as procollagen C-proteinase inhibitors

INVENTOR(S): Bailey, Simon; Billotte, Stéphane; Derrick, Andrew Michael; Fish, Paul; Vincent, James; Kim; Thomson, Nicholas Murray

PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

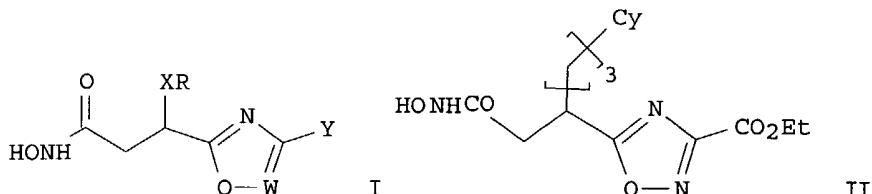
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047901	A1	20010705	WO 2000-IB1855	20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016717	A	20020903	BR 2000-16717	20001212
EP 1240152	A1	20020918	EP 2000-981523	20001212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201642	T2	20021121	TR 2002-200201642	20001212
JP 2003519133	T2	20030617	JP 2001-549373	20001212
EE 200200358	A	20031015	EE 2002-358	20001212
US 2001021718	A1	20010913	US 2000-735968	20001213
US 6448278	B2	20020910		
NO 2002003058	A	20020823	NO 2002-3058	20020624
BG 106866	A	20030331	BG 2002-106866	20020624
US 2003119807	A1	20030626	US 2002-198710 GB 1999-30570	20020716 A 19991223
PRIORITY APPLN. INFO.:			US 2000-180527P	P 20000207

OTHER SOURCE(S) :  
GI

CASREACT 135:92633: MARPAT 135:92633

W 20001212  
A3 20001213



AB I (e.g. 5-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-1,2,4-oxadiazole-3-carboxamide, shown as II) and their salts, solvates, prodrugs, etc., pharmaceutical compns. containing them, methods for their preparation, inhibition of procollagen C-proteinase (PCP) (selective against matrix metalloprotease-1 (MMP-1) and/or MMP-2 and/or MMP-9 and/or MMP-14) and utility in treatment of conditions mediated by PCP are claimed. In I, X = C1-6 alkylene or C2-6 alkenylene, each of which is optionally substituted by  $\geq 1$  F atoms; R = aryl or C3-8 cycloalkyl optionally substituted by  $\geq 1$  F atoms; W = N or CZ; Y and Z each = H, C1-4 alkyl (optionally substituted by  $\geq 1$  halogen, S(O)pR6, OR5, CONR1R2, CO2R7 and aryl), C1-4 alkanoyl optionally substituted by  $\geq 1$  halogen, C1-4 alkoxy carbonyl optionally substituted by  $\geq 1$  halogen, or CONR1R2; R1 and R2 each = H, C3-8 cycloalkyl, C1-4 alkyl (optionally substituted by C3-8 cycloalkyl, aryl, CO2H, CO2R5 and/or NR3R4), or R1 and R2 can be taken together with the N to which they are attached to represent a 4-to 6-membered heterocyclic ring optionally containing 1 or 2 further hetero atoms in the ring = N, O and S, which heterocyclic ring is optionally benzo- or pyrido-fused, and which heterocyclic ring is optionally substituted by C1-4 alkyl, CO2H, CO2R5, aryl and/or NR3R4. R3 and R4 each = H, C1-C4 alkyl or C1-4 alkoxy carbonyl optionally substituted by  $\geq 1$  halogen, or R3 and R4 can be taken together with the N atom to which they are attached to represent a morpholine, piperidine, azetidine or piperazine (optionally N-substituted by C1-4 alkyl) moiety; R5 = C1-4 alkyl optionally substituted by CO2R7 or CONR3R4, or R5 is aryl; R6 = C1-4 alkyl optionally substituted by  $\geq 1$  halogen, or aryl; R7 = H or R6; p = 0-2; aryl = mono- or bicyclic aromatic carbocyclic or heterocyclic system comprising 5-10 ring atoms, including up to 3 heteroatoms = N, O and S, where, if there is a N atom in the ring, it can be present as the N-oxide, which ring system is optionally substituted by  $\leq 3$  substituents = halogen, C1-4 alkyl optionally substituted by  $\geq 1$  more halogen, C1-4 alkoxy optionally substituted by  $\geq 1$  halogen, Ph, pyridyl, CO2H, CONR3R4, CO2(C1-4 alkyl), NR3R4, OH and OC(O)(C1-4 alkyl). Many of the example compds. had PCP IC50 values  $\leq 0.5 \mu\text{M}$  and selectivities vs. MMP-2 > 100-fold. Several methods of preparation are claimed and 62 example preps. are described along with 122 examples of preps. of intermediates. For example, Et 5-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-1,2,4-oxadiazole-3-carboxylate was obtained from (3R)-6-cyclohexyl-3-[3-(ethoxycarbonyl)-1,2,4-oxadiazol-5-yl]hexanoic acid (III) in DMF by treatment with O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate followed by reaction with NH2OH·HCl; III was obtained from Et 5-[(1R)-1-[2-(tert-butoxy)-2-oxoethyl]-4-cyclohexylbutyl]-1,2,4-oxadiazole-3-carboxylate by thermal cyclocondensation in xylene.

IT 348623-69-8P 348623-76-7P 348623-77-8P

348624-02-2P 348624-03-3P 348624-04-4P

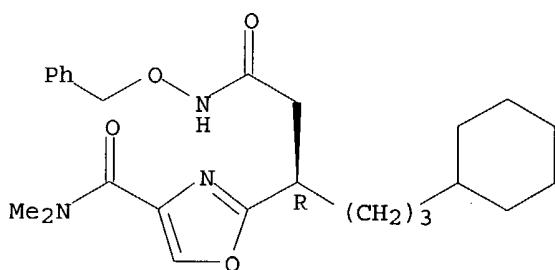
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acid useful as procollagen C-proteinase inhibitors for antiscarring medicament)

RN 348623-69-8 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-4-[dimethylamino]carbonyl]-N-(phenylmethoxy)-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

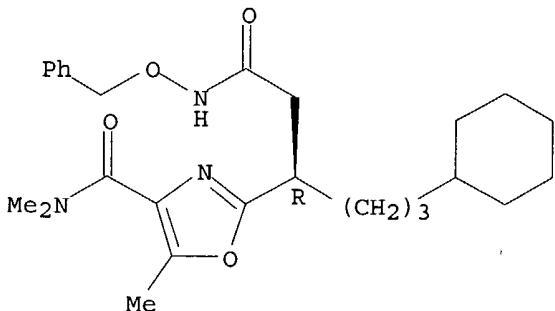
Absolute stereochemistry.



RN 348623-76-7 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-4-[dimethylamino]carbonyl]-5-methyl-N-(phenylmethoxy)-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

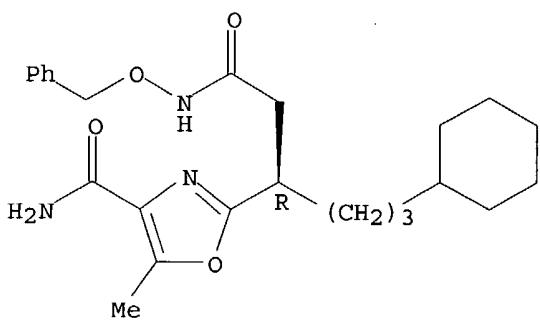
Absolute stereochemistry.



RN 348623-77-8 CAPLUS

CN 2-Oxazolepropanamide, 4-(aminocarbonyl)- $\beta$ -(3-cyclohexylpropyl)-5-methyl-N-(phenylmethoxy)-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

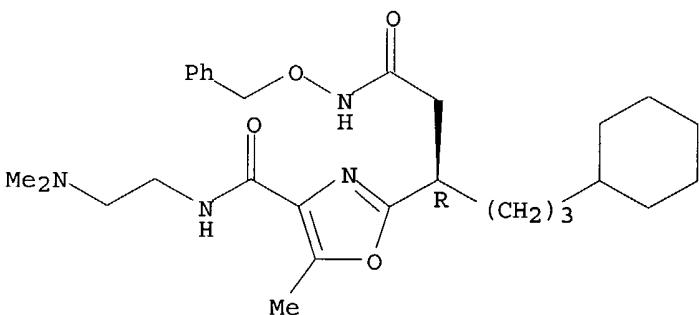
Absolute stereochemistry.



RN 348624-02-2 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -[(3-cyclohexylpropyl)-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-N-(phenylmethoxy)-, ( $\beta$ R) - (9CI) (CA INDEX NAME)

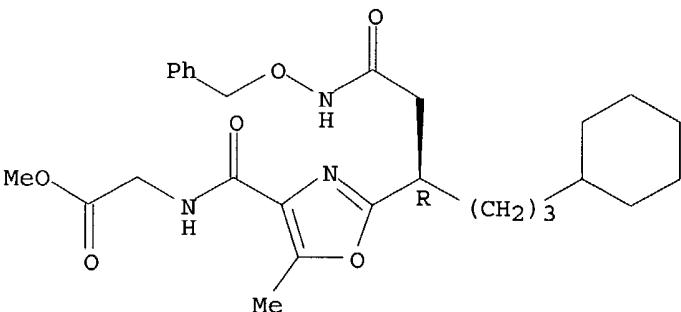
Absolute stereochemistry.



RN 348624-03-3 CAPLUS

CN Glycine, N-[2-[(1R)-4-cyclohexyl-1-[2-oxo-2-[(phenylmethoxy)amino]ethyl]butyl]-5-methyl-4-oxazolyl]carbonyl-, methyl ester (9CI) (CA INDEX NAME)

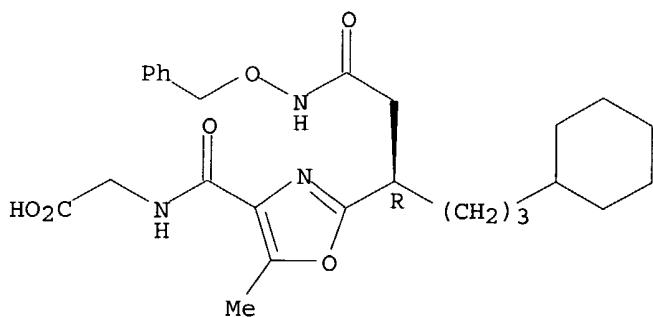
Absolute stereochemistry.



RN 348624-04-4 CAPLUS

CN Glycine, N-[2-[(1R)-4-cyclohexyl-1-[2-oxo-2-[(phenylmethoxy)amino]ethyl]butyl]-5-methyl-4-oxazolyl]carbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 348624-49-7P 348624-51-1P 348624-52-2P  
348624-68-0P 348624-69-1P 348624-73-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

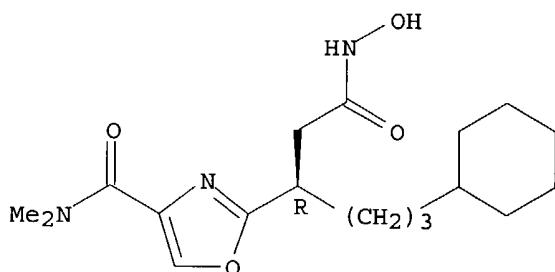
(preparation of oxazolyl- and oxadiazolyl-containing hydroxamic acids useful as

procollagen C-proteinase inhibitors for antiscarring medicament)

RN 348624-49-7 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-N-hydroxy-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

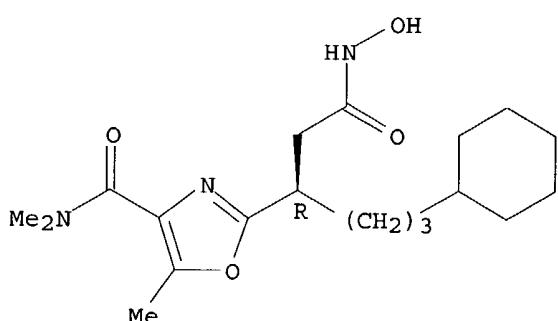
Absolute stereochemistry.



RN 348624-51-1 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-4-[(dimethylamino)carbonyl]-N-hydroxy-5-methyl-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

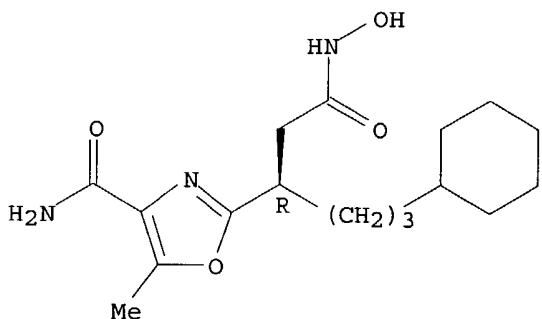
Absolute stereochemistry.



RN 348624-52-2 CAPLUS

CN 2-Oxazolepropanamide, 4-(aminocarbonyl)- $\beta$ -(3-cyclohexylpropyl)-N-hydroxy-5-methyl-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

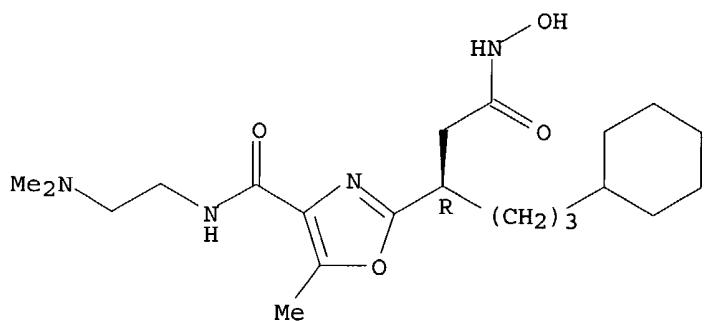
Absolute stereochemistry.



RN 348624-68-0 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclohexylpropyl)-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-N-hydroxy-5-methyl-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

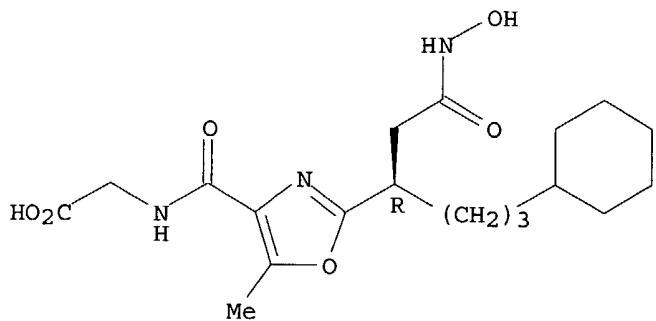
Absolute stereochemistry.



RN 348624-69-1 CAPLUS

CN Glycine, N-[2-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-5-methyl-4-oxazolyl]carbonyl]- (9CI) (CA INDEX NAME)

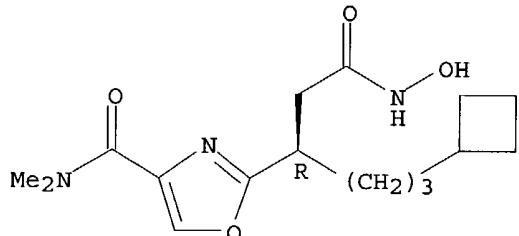
Absolute stereochemistry.



RN 348624-73-7 CAPLUS

CN 2-Oxazolepropanamide,  $\beta$ -(3-cyclobutylpropyl)-4-[dimethylamino]carbonyl]-N-hydroxy-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log Y  
COST IN U.S. DOLLARSSINCE FILE  
ENTRY  
10.91TOTAL  
SESSION  
635.80

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY  
-1.47TOTAL  
SESSION  
-1.47

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 09:20:42 ON 11 AUG 2004